

510,371

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization  
International Bureau



(43) International Publication Date  
13 November 2003 (13.11.2003)

PCT

(10) International Publication Number  
**WO 03/092732 A1**

(51) International Patent Classification<sup>7</sup>: **A61K 47/32**,  
47/48, C08F 220/46

Christophe [CA/CA]; Apt. 327, 329 Notre Dame E, Montréal, Québec H2Y 3Z2 (CA).

(21) International Application Number: PCT/EP02/11791

(74) Common Representative: **RÖHM GMBH & CO. KG**;  
IP Management, Patents, Kirschenallee, D-64293 Darmstadt (DE).

(22) International Filing Date: 22 October 2002 (22.10.2002)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
102 19 505.6 30 April 2002 (30.04.2002) DE  
102 20 470.5 7 May 2002 (07.05.2002) DE

(81) Designated States (*national*): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW.

(71) Applicants (*for all designated States except US*): **RÖHM GMBH & CO. KG** [DE/DE]; Kirschenallee, 64293 Darmstadt (DE). **UNIVERSITE DE MONTREAL** [CA/CA]; C.P. 6128, Succursale Centre-Ville, Montréal, Québec H3C 3J7 (CA).

(84) Designated States (*regional*): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

(72) Inventors; and

(75) Inventors/Applicants (*for US only*): **PETEREIT, Hans-Ulrich** [DE/DE]; Händelstrasse 40, 64291 Darmstadt (DE). **MEIER, Christian** [DE/DE]; Kolbeweg 35, 64295 Darmstadt (DE). **SCHULTES, Klaus** [DE/DE]; Heinrich-von-Brentano-Strasse 17, 65197 Wiesbaden (DE). **YESSINE, Marie-Andrée** [CA/CA]; 2915 Edouard Montpetit, Apt. 502, Montréal, Québec H3T 1J8 (CA). **LEROUX, Jean-**

**Published:**

— with international search report

*For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.*

(54) Title: PH-SENSITIVE POLYMER

(57) **Abstract:** The invention relates to a pH-sensitive polymer which is a (meth)acrylate copolymer composed of 20 to 65 % by weight acrylic and/or methacrylic acid units and 80 to 35 % by weight units of C<sub>1</sub>- to C<sub>18</sub>-alkyl esters of (meth)acrylic acid, characterized in that it has a molecular weight in the range from 1 000 to 50 000 g/mol, and brings about at least 60 % haemolysis at pH 5.5, and less than 5 % haemolysis at pH 7.4, in a concentration of 150 µg/ml in a cytotoxicity test with human red blood cells. The invention further relates to the use of the pH-sensitive polymer as carrier for pharmaceutically effective biomolecules or active pharmaceutical ingredients and as ingredient of corresponding dosage forms.

**BEST AVAILABLE COPY**



WO 03/092732 A1